REMARKS

This is in response to the Office Action that was mailed on January 12, 2001. Claims 1 and 2 have been amended to be method claims in accordance with the disclosure, the definition of the ring containing the variables G1-G4 has been sharply limited, and formula (I) has been restricted to formula (I'). New claims 17 and 18 are restricted versions of original compound claims 1 and 2, respectively. Compound claims 6-7, 17, and 18 are restricted to the compounds represented by the formula (I") in view of the descriptions of WO99/06395. No new matter is introduced by this Amendment. Claims 1, 2, 5-9, 11, and 16-18 are in the case.

Claims 1-5, 11-14, and 16 were rejected as allegedly containing improper Markush groups. While Applicants do not believe that the rejection is justified, the main claim herein has been amended to be a method claim, the definition of the ring containing the variables G1-G4 has been sharply limited, and formula (I) has been restricted to formula (I'). Accordingly, withdrawal of this rejection is respectfully solicited.

Claims 1, 2, and 11-14 were rejected under the second paragraph of 35 USC 112.

The Examiner argued that the terminology "cyclic amino group" is unclear, noting the fact that such terminology includes morpholino groups. The terminology in question is well understood by those skilled in the art. Many U.S. patents have been granting reciting that terminology and including morpholino with the definition thereof. As the Examiner will readily appreciate

upon inspection of such patents, morpholino is generally recognized as constituting a cyclic amino group, along with e.g., pyrrolidinyl, piperidinyl, and piperazinyl. Accordingly, the Examiner is respectfully requested to withdraw this aspect of the rejection.

Claim 1 has been amended to delete the superfluous "lower alkoxy".

The "carbonyl" terminology has been corrected to "oxo" terminology. Since compounds having four oxo groups are known, that aspect of the rejection is respectfully traversed.

Claims 12-14 have been deleted, thereby obviating the "substantial duplicates" problem.

It is respectfully submitted that the claims in their present form satisfy the requirements of the statute.

Claims 1-5, 11-14 and 16 were rejected under the first paragraph of 35 USC 112. The Examiner's position appears to be that the terminology "cyclic amino group" renders the claims broader than the supporting enabling disclosure. As noted above, the scope of the terminology in question is clear to those skilled in the art. Furthermore, the compounds represented by the formula (XXX) (see page 86 of the specification) were well known prior to the filing date of this application. Accordingly, it is respectfully urged that the rejection of the claims – in their present form – as exceeding the scope of the enablement should not be sustained.

At the bottom of page 5 of the Office Action, the Examiner cites WO 99/06395 and WO 99/40075.

Regarding WO 99/06395, the compounds represented by formula (I) therein overlap with the compounds represented by formula (I') herein. WO 99/06395 describes oxido squalene-cyclase inhibiting activity, but is silent as to the FXa inhibiting activity of the present invention (methods). The compounds of claims 17 and 18 herein are restricted to formula (I"), which is defined to avoid overlap with WO 99/06395. Claims 8 and 9 herein, however, recite concrete compounds having FXa inhibiting activity. These compounds may be generically included within formula (I) of WO 99/06395, but they are not specifically described therein.

The compounds of WO 99/40075 (see also EP 1.054,005) overlap the compounds of formula (I') herein (as well as the compounds of WO 99/06395) when the ring A having an oxo group is piperazine, X' is methylene, Y is piperidine, X is a bond, and Z is a nitrogen-containing heterocyclic group represented by (3) in WO 99/40075. When the ring A has further substituents, the compounds overlap with those herein (but not with WO 99/06395). The pharmaceutical activity of WO 99/40075 is, like the present application, FXa inhibiting activity. WO 99/40075 claims priority to JP24833/98 (Feb. 5, 1998) and JP317205/98 (Nov. 9, 1998). The present application, on the other hand, claims priority to JP367583/97 (Dec. 26, 1997) and JP311491/98 (Oct. 30, 1998). The newly amended claims of the present application are entitled to

priority dates earlier than the relevant effective dates of WO 99/40075 (and EP 1,054,005).

Conclusion

It is believed that a full and complete response has been made to the Office Action. Accordingly, the Examiner is respectfully requested to send the application to Issue.

In the event there are any matters remaining in this application, the Examiner is invited to contact Mr. Richard J. Gallagher, Registration No. 28,781 at (703) 205-8008.

Pursuant to the provisions of 37 C.F.R. §§ 1.17 and 1.136(a), the Applicant respectfully petitions for a three (3) month extension of time for filing a response in connection with the present application and the required fee of $890.00 is attached hereto.

If necessary, the Commissioner is hereby authorized in this, concurrent, and future replies, to charge payment or credit any overpayment to Deposit

Account No. 02-2448 for any additional fees required under 37 C.F.R. §§1.16 or 1.17; particularly, extension of time fees.

Respectfully submitted,

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for Gerald M. Murphy
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Enclosure: Marked Up Version of Claims Showing Amendments

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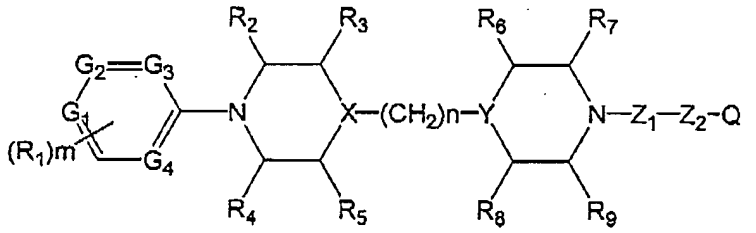
MARKED UP VERSION OF CLAIMS SHOWING AMENDMENTS

CLAIMS

1. A method for preventing or treating a disease for which the FXa inhibitor is indicated, comprising:

administering an effective amount of a composition comprising a pharmaceutical carrier and at least one of the compound represented by the following formula (I') or a salt thereof:



(I')

[and G4] and G4 is CH

(wherein G1, G2 and G3 are CH or N, provided that one or two of G1 to G3 is N ~~and G4 is CH~~;

X is CH and Y is N;

Z1 is a group represented by the formula $-SO_2-$ or $-CH_2-$;

Z2 is a single bond, a lower alkylene group, a lower alkenylene group or a lower alkynylene group;

Q is an optionally substituted aryl or an optionally substituted heteroaryl group;

R1 is either any substituent selected from group A (a hydrogen atom, a halogen atom, a trifluoromethyl group, a trifluoromethoxy group, a carboxyl group, a carbamoyl group, an amino group, a cyano group, a nitro group, a lower

alkanoyl group, a lower alkoxy group, a lower alkoxycarbonyl group, a mono- or di-substituted lower alkylamino group, a cyclic amino group, a lower alkanoylamino group, a phenyl group, a phenoxy group, a benzyloxy group, a benzoyl group, a mercapto group, a lower alkylthio group, a lower alkylthiocarbonyl group, a hydroxyl group or a mono- or di-substituted lower alkylaminocarbonyl group), or an oxygen atom that forms a N-oxide group with N in any one of G1 - G4, or a lower alkyl group (a lower alkoxy group) or a lower alkenyl group that may be substituted with a desired number of substituents of group A or a lower alkoxy group, or a lower alkoxy group which may be substituted with a desired number of substituents of group A or a lower alkoxy group;

each of R2, R3, R4, R5, R6, R7, R8 and R9 forms an oxo (a carbonyl) group when combined with the carbon atom on the ring to which they are bound, or they are each a hydrogen atom, a carboxyl group, a lower alkylcarbonyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonylalkylcarbonyl group, an optionally mono- or di-lower alkyl substituted carbamoyl group, a lower alkoxycarbamoyl group, a lower alkoxycarbonylalkylcarbamoyl group, a pyrrolidin-1-ylcarbonyl group, a morpholinocarbonyl group, a piperazin-1-ylcarbonyl group that may be substituted by a methyl group in 4-position, a piperidin-1-ylcarbonyl group that may be substituted by a methyl group or a hydroxyl group in

4-position, an N-phenylcarbamoyl group or a group represented by the formula $-CONH(CH_2)_pS(O)_qR_{10}$ or $-CONH(CH_2)_rNR_{11}R_{12}$, or a lower alkyl group that may be substituted by R15;

each of R10, R11 and R12 independently represents a hydrogen atom, a lower alkyl group, a phenyl group or a lower alkylphenyl group;

R15 is a carboxyl group, a lower alkoxycarbonyl group, a hydroxyl group, a lower alkoxy group, a lower alkanoyloxy group, an amino group, a mono- or di-substituted lower alkylamino group, a lower alkanoylamino group, a lower alkylsulfonylamino group, a cyclic amino group or an N-hydroxyimino group;

provided that R6, when combined with the carbon atom to which it is bound, may represent $R_{6a}-C-R_{6b}$, wherein either R6a or R6b is a hydrogen atom and the other is the same as defined above for R6 or, alternatively, each of R6a and R6b independently represents a lower alkyl group;

also provided that if any one of the substituents R2 - R9 includes cyclic group, such cyclic group may be substituted by one or two lower alkyl groups;

m is an integer of 0-3 and n is an integer of 1, p is an integer of 0 - 4, q is an integer of 0 - 2, and r is an integer of 1 - 4;)) [are independently an integer of 0-3] [with the proviso ... are excluded],

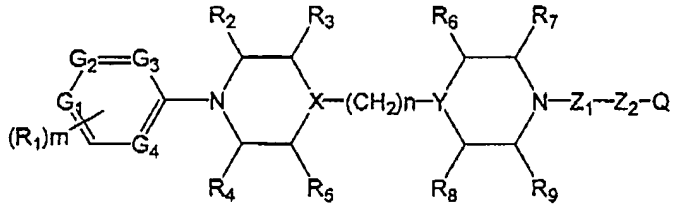
[The compound or salt thereof according to claim 1]

2. The method claimed in claim 1, wherein the optionally

substituted aryl or heteroaryl group as Q of the formula (I') is an aryl or heteroaryl group that may be substituted by 1 - 4 groups in any combinations that are selected from among substituents of either group B [a halogen atom, a trifluoromethyl group, a trifluoromethoxy group, a trifluoromethanesulfonyl group, a carboxyl group, a carbamoyl group, an amino group, a cyano group, a nitro group, a lower alkanoyl group, a lower alkoxyl group, a lower alkoxycarbonyl group, a mono- or di-substituted lower alkylamino group, a lower alkanoylamino group, a cyclic amino group, a mercapto group, a lower alkylthio group, a lower alkylthiocarbonyl group, a lower alkylsulfonyl group, a lower alkylsulfinyl group, a hydroxyl group or a mono- or di-substituted lower alkylaminocarbonyl group, an amidino group which is optionally substituted with sulfamoyl or carbamoyl group, the formula
$-NHCR_{13}-NHR_{14}$ (wherein R13 is an optionally cyano-substituted imino group or a group $=CHNO_2$; R14 is a hydrogen atom or a methyl group), a phenyl group, a phenoxy group, a heteroaryl group, a heteroaryloxy group, or a group represented by phenyl-$S(O)_t$ or heteroaryl-$S(O)_t$ (wherein t is an integer of 0 - 2), the heteroaryl group of group B is a 5- or 6-membered aromatic monocyclic group containing not more than four oxygen atoms, sulfur atoms or nitrogen atoms, provided that all aromatic rings of group B may be mono-, di-, or tri-substituted by any substituent of

group C (a halogen atom, a trifluoromethyl group, a cyano group, a hydroxyl group, an amino group, a mono- or di-substituted lower alkylamino group, a cyclic amino group, a nitro group, a carboxyl group, a mono- or di-substituted lower alkylaminocarbonyl group, a lower alkyl group, a lower alkoxy group or a lower alkoxycarbonyl group)] or a lower alkyl group that may be substituted by a desired number of substituents of group B.

17. A compound represented by the following formula (I") or a salt thereof:



(2nd G-4] and G4 is CH (I")

(wherein G1, G2 and G3 are CH or N, provided that one or two of G1 to G3 is N and G4 is CH;

X is CH and Y is N;

Z1 is a group represented by the formula $-SO_2-$ or $-CH_2-$;

Z2 is a single bond, a lower alkylene group, a lower alkenylene group or a lower alkynylene group;

Q is an optionally substituted aryl or an optionally substituted heteroaryl group;

R1 is either any substituent selected from group A (a hydrogen atom, a halogen atom, a trifluoromethyl group, a

trifluoromethoxy group, a carboxyl group, a carbamoyl group, an amino group, a cyano group, a nitro group, a lower alkanoyl group, a lower alkoxy group, a lower alkoxycarbonyl group, a mono- or di-substituted lower alkylamino group, a cyclic amino group, a lower alkanoylamino group, a phenyl group, a phenoxy group, a benzyloxy group, a benzoyl group, a mercapto group, a lower alkylthio group, a lower alkylthiocarbonyl group, a hydroxyl group or a mono- or di-substituted lower alkylaminocarbonyl group), or an oxygen atom that forms a N-oxide group with N in any one of G1 - G4, or a lower alkyl group, a lower alkoxy group or a lower alkenyl group that may be substituted with a desired number of substituents of group A;

each of R2, R3, R4, R5, R6, R7, R8 and R9 forms an oxo group when combined with the carbon atom on the ring to which they are bound, or they are each a hydrogen atom, a carboxyl group, a lower alkylcarbonyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonylalkylcarbonyl group, an optionally mono- or di-lower alkyl substituted carbamoyl group, a lower alkoxycarbamoyl group, a lower alkoxycarbonylalkylcarbamoyl group, a pyrrolidin-1-ylcarbonyl group, a morpholinocarbonyl group, a piperazin-1-ylcarbonyl group that may be substituted by a methyl group in 4-position, a piperidin-1-ylcarbonyl group that may be substituted by a methyl group or a hydroxyl group in

4-position, an N-phenylcarbamoyl group or a group represented by the formula $-CONH(CH_2)_pS(O)_qR_{10}$ or $-CONH(CH_2)_rNR_{11}R_{12}$, or a lower alkyl group that may be substituted by R15;

each of R10, R11 and R12 independently represents a hydrogen atom, a lower alkyl group, a phenyl group or a lower alkylphenyl group;

R15 is a carboxyl group, a lower alkoxycarbonyl group, a hydroxyl group, a lower alkoxy group, a lower alkanoyloxy group, an amino group, a mono- or di-substituted lower alkylamino group, a lower alkanoylamino group, a lower alkylsulfonylamino group, a cyclic amino group or an N-hydroxyimino group;

provided that R6, when combined with the carbon atom to which it is bound, may represent $R_{6a}-C-R_{6b}$, wherein either R6a or R6b is a hydrogen atom and the other is the same as defined above for R6 or, alternatively, each of R6a and R6b independently represents a lower alkyl group;

also provided that if any one of the substituents R2 - R9 includes cyclic group, such cyclic group may be substituted by one or two lower alkyl groups;

m is an integer of 0-3 and n is an integer of 1, p is an integer of 0 - 4, q is an integer of 0 - 2, and r is an integer of 1 - 4;

with the proviso that when these compounds of formula (I") in which all of R2, R3, R4, R5, R6, R7, R8 and R9 are

independently selected from hydrogens or oxo groups and Q is selected from the group consisiting of five or six-membered heterocycle, phenyl, phenyl alkenyl and naphthyl; any of which is optionally substituted are excluded).

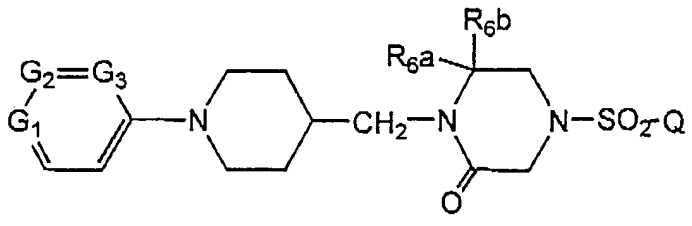
15. The compound or salt thereof according to claim 3, wherein the optionally substituted aryl or heteroaryl group as Q of the formula (I") is an aryl or heteroaryl group that may be substituted by 1 - 4 groups in any combinations that are selected from among substituents of either group B [a halogen atom, a trifluoromethyl group, a trifluoromethoxy group, a trifluoromethanesulfonyl group, a carboxyl group, a carbamoyl group, an amino group, a cyano group, a nitro group, a lower alkanoyl group, a lower alkoxyl group, a lower alkoxycarbonyl group, a mono- or di-substituted lower alkylamino group, a lower alkanoylamino group, a cyclic amino group, a mercapto group, a lower alkylthio group, a lower alkylthiocarbonyl group, a lower alkylsulfonyl group, a lower alkylsulfinyl group, a hydroxyl group or a mono- or di-substituted lower alkylaminocarbonyl group, an amidino group which is optionally substituted with sulfamoyl or carbamoyl group, the formula

$-NHCR_{13}-NHR_{14}$ (wherein R13 is an optionally cyano-substituted imino group or a group $=CHNO_2$; R14 is a hydrogen atom or a methyl group), a phenyl group, a phenoxy

group, a heteroaryl group, a heteroaryloxy group, or a group represented by phenyl-$S(O)_t$ or heteroaryl-$S(O)_t$ (wherein t is an integer of 0 - 2), the heteroaryl group of group B is a 5- or 6-membered aromatic monocyclic group containing not more than four oxygen atoms, sulfur atoms or nitrogen atoms, provided that all aromatic rings of group B may be mono-, di-, or tri-substituted by any substituent of group C (a halogen atom, a trifluoromethyl group, a cyano group, a hydroxyl group, an amino group, a mono- or di-substituted lower alkylamino group, a cyclic amino group, a nitro group, a carboxyl group, a mono- or di-substituted lower alkylaminocarbonyl group, a lower alkyl group, a lower alkoxy group or a lower alkoxycarbonyl group)] or a lower alkyl group that may be substituted by a desired number of substituents of group B.

ORIGINAL
3.4 deleted.

5. A compound represented by the following general formula (II') or a salt thereof:



(II')

(wherein G1, G2 and G3 are CH or N, provided that (at least) one or two of them is N;

one of R6a and R6b is a hydrogen atom and the other is

1) a group selected from among a carboxyl group, a lower alkylcarbonyl group, a lower alkoxycarbonyl group and a lower alkoxycarbonylalkylcarbonyl group;

2) a group selected from among an optionally mono- or di-lower alkyl substituted carbamoyl group, a lower alkoxycarbamoyl group, a lower alkoxycarbonylalkylcarbamoyl group, a pyrrolidin-1-ylcarbonyl group, a morpholinocarbonyl group, a piperidin-1-ylcarbonyl group which may be substituted by a methyl group or a hydroxyl group in 4-position, an N-phenylcarbamoyl group or a group selected from among the groups represented by the formulae $-CONH(CH_2)_pS(O)_qR_{10}$ and $-CONH(CH_2)_rNR_{11}R_{12}$ (wherein R10, R11 and R12 are independently a hydrogen atom, a lower alkyl group, a phenyl group or a lower alkylphenyl group; p is an integer of 0 - 4, q is an integer of 0 - 2, and r is an integer of 1 - 4), or

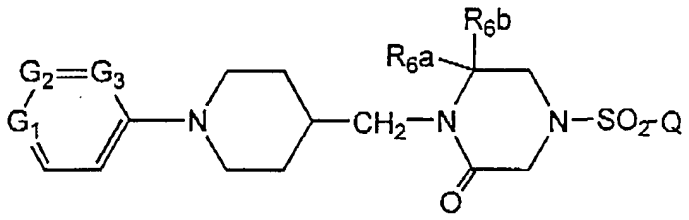
3) a lower alkyl group optionally substituted by R15; R15 is a carboxyl group, a lower alkoxycarbonyl group, a hydroxyl group, a lower alkoxy group, a lower alkanoyloxy group, an amino group, a mono- or di-substituted lower alkylamino group, a lower alkanoylamino group, a lower alkylsulfonylamino group, a cyclic amino group or an N-hydroxyimino group;

or R6a and R6b are both a lower alkyl group;

Q' is an aryl group optionally substituted by a group

having any 1 - 4 halogen atoms or an aryl lower alkenylene group which may be similarly substituted).

6. A compound of the formula (II"):



(II")

(wherein R6a and Q' have the same definitions as given for the substituent R6a but not a hydrogen and Q' in the formula (II')) or a salt thereof.

7. The compound or salt thereof according to claim 6, wherein R6a is a carboxyl group, a lower alkoxycarbonyl group, or a lower alkyl group that may be substituted by R15; 2nd R15 is a carboxyl group, a lower alkoxycarbonyl group, a hydroxyl group, a lower alkoxy group, or a lower alkanoyloxy group.

~~The compound or salt thereof according to claim 1 or 2, wherein at least one of G1, G2 and G3 is N and G4 is CH.~~

8. A compound selected from the following list of compounds or a salt thereof:

1-[(E)-4-chlorostyrylsulfonyl]-4-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

THIS COMPOUNDS OMITTED

4-(naphthalene-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-

ylmethyl]piperazine;

4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(6-bromonaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(benzo[b]thiophen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-yl-methyl]piperazine;

4-(5-fluorobenzo[b]thiophen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(6-chlorobenzo[b]thiophen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(4-methoxybenzo[b]thiophen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(6-methoxybenzo[b]thiophen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-[3-(ethoxycarbonylmethyl)benzo[b]thiophen-2-ylsulfonyl]-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

1-[1-(4-pyridyl)piperidin-4-ylmethyl]-4-[3-(trifluoromethyl)benzo[b]thiophen-2-ylsulfonyl]piperazine;

4-(3-nitrobenzo[b]thiophen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(benzo[b]furan-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(5-chlorobenzo[b]furan-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(2-methylbenzothiazol-6-ylsulfonyl)-1-[1-(4-

pyridyl)piperidin-4-ylmethyl]piperazine;

4-(4-phenylbenzenesulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(5-carboxy-2-chlorobenzenesulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-[5-(carboxymethyl)-2-chlorobenzenesulfonyl]-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(5-acetamidonaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(5-aminonaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-[(E)-4-chlorostyrylsulfonyl]-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(5-aminonaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-[(E)-4-chlorostyrylsulfonyl]-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-bromonaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(naphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-methylnaphthalen-2-ylsulfonyl)-1-[1-(4-pyriyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-cyanonaphthalen-2-ylsulfonyl)-1-[1-(4-

pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-hydroxynaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(1-fluoronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-2-ethoxycarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(6-chloronaphthalen-2-ylsulfonyl)-2-hydroxymethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

2-carboxy-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(6-chloronaphthalen-2-ylsulfonyl)-2-[(2-ethoxycarbonyl)acetyl]-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

2-aminocarbonyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

4-(6-chloronaphthalen-2-ylsulfonyl)-2-[N-(ethylthioethyl)aminocarbonyl]-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;

2-acetyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine; and

4-(6-chloronaphthalen-2-ylsulfonyl)-2-(N,N-dimethylaminocarbonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine.

9. A compound selected from the following list of compounds, or a salt

thereof:

4-(6-chloronaphthalen-2-ylsulfonyl)-6-ethoxycarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

6-carboxy-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6-hydroxymethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6-methoxymethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

6-acetoxymethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-[(E)-4-chlorostyrylsulfonyl]-6-ethoxycarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

6-carboxy-4-[(E)-4-chlorostyrylsulfonyl]-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

6-aminocarbonyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

6-aldoximyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6-morpholinocarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6-dimethylaminocarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6-methoxyaminocarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6-(4-hydroxypiperidinecarbonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

6-aminomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6-morpholinomethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6-dimethylaminomethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

6-acetamidomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6-methanesulfonylamidomethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6-(4-hydroxypiperidinemethyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6-dimethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(2-naphthylsulfonyl)-6-hydroxymethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

6-acetoxymethyl-4-(2-naphthylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

(R)-4-(6-chloronaphthalen-2-ylsulfonyl)-6-ethoxycarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

(S)-4-(6-chloronaphthalen-2-ylsulfonyl)-6-ethoxycarbonyl-1-

[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

(R)-4-(6-chloronaphthalen-2-ylsulfonyl)-6-methoxymethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

(S)-4-(6-chloronaphthalen-2-ylsulfonyl)-6-methoxymethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

(R)-6-carboxy-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6-n-propoxycarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

(R)-4-(6-chloronaphthalen-2-ylsulfonyl)-6-n-propoxycarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6-isopropoxycarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

(R)-4-(6-chloronaphthalen-2-ylsulfonyl)-6-isopropoxycarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

6-t-butoxycarbonyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;

4-(6-chloronaphthalen-2-ylsulfonyl)-6,6-dimethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one; and

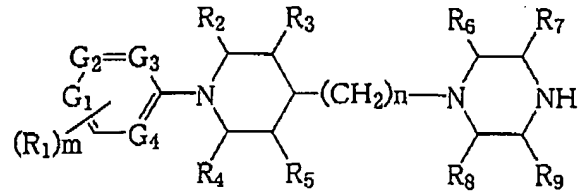
(R)-4-[(E)-4-chlorostyrylsulfonyl]-6-methoxymethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one.

Claim 10 (deleted)

11. A pharmaceutical composition containing at least one compound or salt thereof according to any one of claims 1-10 3 - 9 as an active ingredient.

Claim 12-15 (deleted)

16. A compound of the formula (VI') ~~that may be protected~~ optionally protected with a suitable protective group or a salt thereof:



(VI')

(wherein G1 - G4, R1 - R9, m and n have the same meanings as respectively defined for the formula (I") in claim 3).